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**A2**

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## EUROPEAN PATENT APPLICATION

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㉝ Novel phenylureas.

㉞ Novel substituted N-(heterocyclic-substituted phenyl)-N'-benzoylureas, processes for producing these compounds, compositions thereof and the use of the compounds for the control of pests.

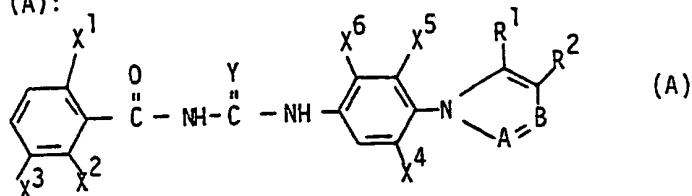
**EP 0 242 322 A2**

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Case 133-0637NOVEL PHENYLUREAS

The present invention relates to novel substituted N-(heterocyclic-substituted phenyl)-N'-benzoylureas, to processes for producing these compounds, to intermediates therefor, to compositions thereof and to the use of the compounds for the control of pests, and in particular for the control of insects and acarids.

More particularly, the compounds of the present invention are represented by the following formula (A):



- 10 wherein, each of  $X^1$ ,  $X^2$ ,  $X^3$  and  $X^5$  is independently hydrogen, halogen or  $C_{1-4}$  alkyl;
- $X^4$  is hydrogen, halogen, unsubstituted or halogenated  $C_{1-4}$  alkyl or  $COOR$ ;
- $X^6$  is hydrogen, halogen,  $C_{1-8}$  alkyl or  $COOR'$ ;
- $Y$  is oxygen or sulfur;
- 15  $A$  is nitrogen or  $C-R^4$ ;
- $B$  is nitrogen or  $C-R^3$ ;
- each of  $R^1$  and  $R^4$  is independently hydrogen, halogen, halogenated  $C_{1-8}$  alkyl, unsubstituted or halogenated  $C_{1-8}$  alkoxy, unsubstituted or halogenated  $C_{1-8}$  alkylthio or; aryl, aryloxy or arylthio unsubstituted or 20 substituted with 1 to 4 halogen atoms or with a  $CF_3$ ,
- $C_{1-4}$  alkyl or  $C_{1-4}$  alkoxy group and 0 to 3 halogen atoms;
- each of  $R^2$  and  $R^3$  is independently hydrogen; halogen; cyano; unsubstituted or halogenated  $C_{1-8}$  alkyl; unsubstituted or halogenated  $C_{1-8}$  alkoxy; unsubstituted or halogenated  $C_{1-8}$  alkylthio;  $COOR''$ ; aryl, 25 aryloxy or arylthio unsubstituted or substituted with 1 to 4 halogen atoms or with a  $C_{1-4}$  alkyl,  $C_{1-4}$  alkoxy or  $CF_3$  group and 0 to 3 halogen atoms; or either  $R^2$  and  $R^2$  or  $R^2$  or  $R^3$  can together form a bridging group of 4 carbon atoms, saturated or unsaturated, and optionally substituted with 1 to 4 halogen atoms or with a trifluoromethyl group and 0 to 3 halogen atoms;
- 30 each of  $R$ ,  $R'$  and  $R''$  is hydrogen or  $C_{1-8}$  alkyl;
- with the proviso that where  $A$  is  $C-R^4$  and  $B$  is  $C-R^3$ , not all of  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  may be hydrogen.

In the practice of the present invention, Y is preferably oxygen.

A is preferably nitrogen.

Where A is nitrogen, B is preferably C-R<sup>3</sup>.

5 Where any of the substituents X<sup>1</sup>-X<sup>6</sup> and R<sup>1</sup>-R<sup>4</sup> is or comprises halogen, such halogen is conveniently selected from bromo, chloro and fluoro.

Where any of X<sup>1</sup>-X<sup>6</sup> is C<sub>1-8</sub>alkyl, it is preferably of one to four carbons and is more preferably of one or two carbons.

Where any of R, R' and R" is C<sub>1-8</sub>alkyl, it is preferably of one to four carbons and is more preferably of one or two carbons.

The terms halogenated C<sub>1-8</sub>alkyl, halogenated C<sub>1-8</sub>alkoxy and halogenated C<sub>1-8</sub>alkylthio refer to C<sub>1-8</sub>alkyl, C<sub>1-8</sub>alkoxy and C<sub>1-8</sub>alkylthio, respectively, substituted by one to six, preferably one to three halogens; such halogen is preferably chloro or fluoro.

15 An example of a preferred halogenated C<sub>1-8</sub>alkyl group is CF<sub>3</sub>.

The term aryl as used herein (as such or in the terms aryloxy or arylthio) refers to an aromatic ring system such as naphthyl, phenyl, pyridyl and thienyl; preferably phenyl. Where such aryl is substituted it may bear from 1 to 4, preferably 1 or 2 substituents. Thus aryl, aryloxy and arylthio is preferably 20 unsubstituted or substituted with one methyl, methoxy or CF<sub>3</sub> group and zero or one halogen atoms or with one or two halogen. Particularly preferred substituted aryl significances are halophenyl, dihalophenyl, methylphenyl and trifluoromethylphenyl.

Preferably not more than one of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> is unsubstituted or 25 substituted aryl, aryloxy or arylthio.

X<sup>1</sup> is preferably H or halogen, more preferably chloro or fluoro.

X<sup>2</sup> is preferably hydrogen or halogen; such halogen is preferably fluoro.

X<sup>3</sup> is preferably hydrogen or halogen, more preferably hydrogen.

X<sup>4</sup> conveniently signifies hydrogen, halogen, C<sub>1-4</sub>alkyl, CF<sub>3</sub> or COOR; it 30 is preferably hydrogen, chloro, bromo, methyl or CF<sub>3</sub>.

X<sup>5</sup> is preferably hydrogen, C<sub>1-4</sub>alkyl or halogen; it is more preferably hydrogen, chloro or methyl.

X<sup>6</sup> is preferably hydrogen or halogen, more preferably H or F.

R<sup>1</sup> conveniently signifies hydrogen, halogen, CF<sub>3</sub>, unsubstituted or unsubstituted aryl, C<sub>1-4</sub>alkoxy or together with R<sup>2</sup> forms a bridging group of 4

carbon atoms.  $R^1$  is preferably hydrogen, bromo, chloro,  $CF_3$ , or unsubstituted or substituted phenyl, more preferably hydrogen or chloro.

$R^2$  conveniently signifies hydrogen, halogen,  $CF_3$ ,  $C_{1-4}$ alkyl,  $COOR'$ , cyano, unsubstituted or substituted phenyl, or together with either  $R^1$  or  $R^3$  forms a bridging group of 4 carbon atoms.  $R^2$  is preferably hydrogen, halogen,  $CF_3$  or unsubstituted or substituted phenyl.  $R^2$  is more preferably hydrogen, halogen,  $CF_3$  or un-, mono- or disubstituted phenyl, and is particularly H, Cl or Br.

$R^3$  conveniently signifies hydrogen, halogen,  $CF_3$ ,  $C_{1-4}$ alkyl, unsubstituted or substituted phenyl or together with  $R^2$  forms a bridging group of 4 carbon atoms.  $R^3$  is preferably hydrogen, halogen,  $CF_3$ ,  $C_{1-4}$ alkyl or un-, mono- or disubstituted phenyl, particularly H, Cl, Br,  $CF_3$ , 4-chlorophenyl or 4-bromophenyl.

Where  $R^1$  and  $R^2$  together form a bridging group this is preferably of the formula  $CH=CH-CH=CH$ ; such group is preferably unsubstituted or substituted by 1 or 2 halogen atoms; such halogen is preferably chloro.

Where  $R^2$  and  $R^3$  together form a bridging group this is preferably of the formula  $(CH_2)_4$ . Such group is preferably unsubstituted or substituted by 1 or 2 halogen atoms; more preferably it is unsubstituted.

Accordingly, in a preferred subgroup of compounds of formula (A) each of  $X^1$ ,  $X^2$  and  $X^3$  is independently H or halogen,

$X^4$  is H, halogen,  $CH_3$  or  $CF_3$ ,

$X^5$  is H, halogen or  $CH_3$ ,  $X^6$  is H or halogen, Y is O, A is N, B is  $C-R^3$ , each of  $R^1$  and  $R^2$  is independently H, halogen or  $CF_3$ .

$R^3$  is H, halogen,  $C_{1-4}$ alkyl or  $CF_3$  whereby one of  $R^1$ ,  $R^2$  and  $R^3$  may also be halophenyl, dihalophenyl, methylphenyl or trifluoromethyl-phenyl and/or

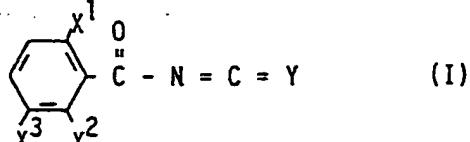
$R^1$  and  $R^2$  together may form a bridging group of the formula  $CH=C-CH=CH$ , which group is unsubstituted or mono- or dihalogenated, or

$R^2$  and  $R^3$  together form a bridging group of formula  $(CH_2)_4$ .

The compounds of formula (A) can have one or more asymmetric centers, geometric or positional isomers. The present invention includes each of such isomers or mixtures thereof. In the examples hereinafter such isomers are obtained as mixtures unless otherwise specified.

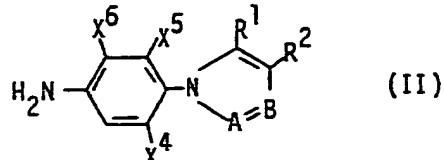
The compounds of formula (A) are obtained by

a) reacting a compound of formula (I)



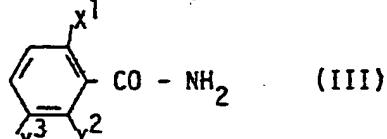
wherein  $\text{X}^1$ ,  $\text{X}^2$ ,  $\text{X}^3$  and  $\text{Y}$  are as defined above,

with a compound of formula (II)



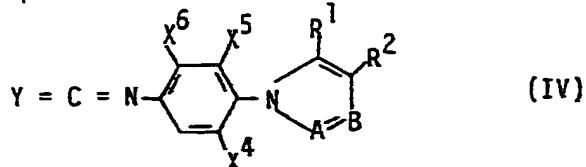
5 wherein  $\text{X}^4$ ,  $\text{X}^5$ ,  $\text{X}^6$ ,  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{A}$  and  $\text{B}$  are as defined above,

or b) by reacting a benzamide of formula (III)



wherein  $\text{X}^1$ ,  $\text{X}^2$  and  $\text{X}^3$  are as defined above,

with a compound of formula (IV)



wherein  $\text{Y}$ ,  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{X}^4$ ,  $\text{X}^5$ ,  $\text{X}^6$ ,  $\text{A}$  and  $\text{B}$  are as defined above.

10 The reaction of compounds of formula I with compounds of formula II (process

a) may be effected under the conditions known for the preparation of  $\text{N}$ -benzoyl- $\text{N}'$ -phenylureas from the corresponding isocyanates and anilines.

The reaction is conveniently carried out in a solvent which is inert under the reaction conditions, e.g. methylene chloride or dimethylformamide. A suitable reaction temperature may vary from  $-10^\circ\text{C}$  to the boiling point of the solvent used, and preferably is about room temperature or moderately above or below room temperature, e.g. between 15 and  $25^\circ\text{C}$ .

The reaction of compounds of formula III with compounds of formula IV (process b) may be effected under the conditions known for the preparation of  $\text{N}$ -benzoyl- $\text{N}'$ -phenylureas from the corresponding benzamides and phenylisocyanates. The reaction is conveniently carried out in a solvent which is inert

under the reaction conditions. A suitable reaction temperature is from 0° to 120°C, preferably at the boiling point of the solvent used. The reaction is optionally effected in the presence of an organic base, such as pyridine.

5 The compounds of formula (A) may be recovered from the reaction mixture in which they are formed by working up by established procedures.

The compounds of formula I can be synthesized by treating the corresponding benzamide with oxalyl chloride or by reacting the corresponding benzoyl chloride with ammonium thiocyanate.

10 The aniline derivatives of formula II can be prepared by reduction of catalytic hydrogenation of the corresponding nitro compounds.

The isocyanates and isothiocyanates of formula IV can be produced by reaction of the derivatives of formula II with phosgene or thiophosgene.

The starting materials and reagents employed in the processes described 15 herein are either known or, insofar as they are not known, may be produced in a manner analogous to the process described herein or to known processes.

The compounds of formula (A) are chitin inhibitors as indicated by tests with i.a. third instar larvae of *Manduca sexta*, *Musca domestica*, *Heliothis virescens* and *Spodoptera exigua*, fourth instar larvae of *Aedes aegypti*, first 20 instar larvae of *Dermestes maculatus*. They are accordingly indicated for use as pest controlling agents, particularly for the control of insects, mites and ticks.

In view of their interesting activity, particularly with regard to the level and spectrum of activity, the compounds of formula (A) offer an advantageous alternative for known chitin inhibitors, such as those disclosed in US 25 Pat. Spec. 3 748 356 and UK Pat. Spec. 2 134 518A.

The compounds of formula (A) can be effective control agents for insects of, for example, the orders Lepidoptera, Hemiptera, Homoptera, Coleoptera, Diptera, Orthoptera and Siphonaptera, and other insects, as well as for mites 30 and ticks of the class Acari, including mites of the families Tetranychidae and Tarsonemidae and ticks of the families Argasidae and Ixodidae. The compounds can be applied to the pest or its locus in a pest-controlling amount, usually of the order of 0.001 microgram to 100 microgram per insect, mite 35 or tick, depending on the mode and conditions of application as well as on the pest involved.

Additionally, compounds of formula (A) may possess a repellent and/or anti-feedant action on terrestrial snails and slugs.

In the use of the compounds of formula (A) for combatting pests, a compound 5 of formula (A), or mixtures thereof, can conveniently be employed as pesticidal compositions in association with acceptable diluent(s) for application to the pest or its locus. Such compositions also form part of the present invention.

Suitable formulations contain from 0.01 to 99% by weight of active ingredient, from 0 to 20% of surfactant from 1 to 99.99% of diluent(s). Higher ratios 10 of surfactant to active ingredient are sometimes desirable and are achieved by incorporation into the formulation or by tank mixing. Application forms of a composition generally contain between 0.01 and 25% by weight of active ingredient. Lower or higher levels of active ingredient can, of course, be present depending on the intended use, the physical properties of the compound and the 15 mode of application. Concentrate forms of a composition intended to be diluted before use generally contain between 2 and 90%, preferably between 5 and 85% by weight of active ingredient.

Useful formulations of the compounds of formula (A) include dusts, granules, suspension concentrates, wettable powders, flowables and the like. They are 20 obtained by conventional manner, e.g. by mixing a compound of formula (A) with the diluent(s) and optionally with other ingredients.

Alternatively, the compounds of formula (A) may be used in micro-encapsulated form.

The compounds of formula (A) can be combined with a cyclodextrin to make 25 a cyclodextrin inclusion complex for application to the pest or its locus.

Agriculturally acceptable additives may be employed in the pesticidal compositions to improve the performance of the active ingredient and to reduce foaming, caking and corrosion, for example.

"Surfactant" as used herein means an agriculturally acceptable material 30 which imparts emulsifiability, spreading, wetting, dispersibility or other surface-modifying properties. Examples of surfactants are sodium lignin sulphonate and lauryl sulfate.

"Diluent" as used herein means a liquid or solid agriculturally acceptable material used to dilute a concentrated material to a usable or desirable strength. For dusts or granules it can be e.g. talc, kaolin or diatomaceous earth, for liquid concentrate forms for example a hydrocarbon such as xylene or an alcohol such as isopropanol, and for liquid application forms i.a. water or diesel oil.

The compositions of this invention can also comprise other compounds having biological activity, e.g. compounds having similar or complementary pesticidal, 10 or insect growth regulating activity or compounds having antidotal, fungicidal, herbicidal or insect attractant activity.

The following examples are provided to illustrate the practice of the present invention. Temperature is given in degrees Centigrade. RT means room temperature. Parts and percentages are by weight. The symbols \*, = and + when 15 used in connection with melting points means "gas", "softens" and "decomposes" respectively. DMF means dimethyl formamide.

#### COMPOSITION EXAMPLES

##### Example A: Dust

Compound 14	5.1%
20 kaolin	94.9%

##### Example B: Flowable

Compound 14	48.0%
dispersant	4.0%
thickener	0.6%
25 antifoam	0.1%
water	41.3%
propylene	
glycol	6.0%

##### Example C: Wettable Powder

30 Compound 17	81.0%
kaolin	14.8%
dispersant	4.0%
wetting agent	0.2%

The ingredients are mixed and milled until the mean particle size is about 35 5 micron.

PREPARATION OF FINAL UREASExample 1: N-4-(4-chloro-1-pyrazolyl)phenyl-N'-2,6-difluorobenzoylurea

2,6-Difluorobenzoyl isocyanate (0.47 g, 2.6 mmol) is added dropwise to a 5 solution of 4-(4-chloro-1-pyrazolyl)aniline (0.50 g, 2.6 mmol) in 8 ml of methylene chloride. The mixture is stirred for 30 min., then diluted with methylene chloride and filtered. The solid is washed with ether and dried to give N-4-(4-chloro-1-pyrazolyl)phenyl-N'-2,6-difluorobenzoylurea (compound 1 under Table A).

10 Example 2: N-3,5-dichloro-4-(1-pyrazolyl)phenyl-N'-2,6-difluorobenzoylurea

To a solution of 3,5-dichloro-4-(1-pyrazolyl)aniline (0.17 g, 0.75 mmol) in 7 ml of methylene chloride and 1 ml of DMF is added 2,6-difluorobenzoyl isocyanate (0.14 g, 0.75 mmol). The resulting mixture is stirred for 5 min., then diluted with ethyl acetate, washed with water and with brine, and dried. After 15 the solvent is evaporated off, ether is added to the solid residue, the suspension is filtered and the solid is washed with ether and dried to give N-3,5-dichloro-4-(1-pyrazolyl)phenyl-N'-2,6-difluorobenzoylurea (compound 2 under Table A).

Example 3

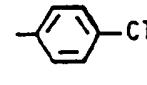
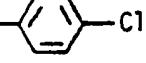
20 Following generally the procedures of Example 1 or 2, each of the final product ureas under Tables A and B and those listed under column I below is prepared from the corresponding aniline and benzoyl isocyanate or benzoyl isothiocyanate intermediates.

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TABLE A

Compounds of formula (A) wherein Y is O, A is N and B is CR<sub>3</sub> :

Cpd	<u>X<sup>1</sup></u>	<u>X<sup>2</sup></u>	<u>X<sup>3</sup></u>	<u>X<sup>4</sup></u>	<u>X<sup>5</sup></u>	<u>X<sup>6</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>3</sup></u>	m.p. (°C)	
10	1	F	F	H	H	H	H	C1	H	240-242	
	2	F	F	H	C1	C1	H	H	H	211-212	
	3	F	F	H	C1	C1	H	H	C1	229-230	
	4	F	F	H	C1	C1	H	H	Br	233-235	
	5	F	F	H	C1	C1	H	H	CF <sub>3</sub>	220-223	
15	6	F	F	H	C1	C1	H	H	CF <sub>3</sub>	217-219	
	7	F	F	H	C1	C1	H	H	Cl	224-227	
20	8	F	F	H	C1	C1	H	H	-  -C1	210-212	
	9	F	F	H	C1	C1	H	H	-  -C1	246-247	
	10	F	F	H	C1	C1	H	H	CF <sub>3</sub>	202.5-203.5	
	11	F	F	H	C1	C1	H	H	CF <sub>3</sub>	222-223	
	12	F	F	H	C1	C1	H	C1	CF <sub>3</sub>	206-207	
25	13	F	F	H	C1	C1	H	H	C(CH <sub>3</sub> ) <sub>3</sub>	204-205	
	14	F	F	H	C1	C1	H	H	C1	-  -C1	206.5-208.5
	15	F	F	H	C1	C1	H	H	C1	CF <sub>3</sub>	231-233
	16	F	F	H	C1	C1	H	H	CF <sub>3</sub>	222.5-224	
	17	F	F	H	C1	C1	H	C1	CF <sub>3</sub>	203-204	
30	18	F	F	H	C1	C1	H	CF <sub>3</sub>	CF <sub>3</sub>	212.5-214	
	19	F	F	H	H	H	H	CF <sub>3</sub>	CF <sub>3</sub>	211.5-212	
	20	F	F	H	H	H	C1	H	CF <sub>3</sub>	197-199	
	21	F	F	H	H	C1	H	H	C1	CF <sub>3</sub>	230-231

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TABLE A (cont.)

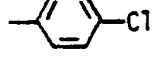
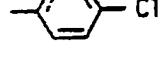
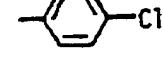
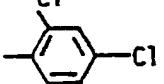
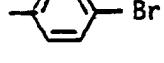
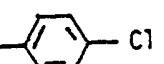
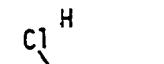
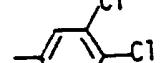
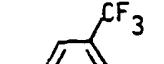
Cpd	<u>x</u> <sup>1</sup>	<u>x</u> <sup>2</sup>	<u>x</u> <sup>3</sup>	<u>x</u> <sup>4</sup>	<u>x</u> <sup>5</sup>	<u>x</u> <sup>6</sup>	<u>R</u> <sup>1</sup>	<u>R</u> <sup>2</sup>	<u>R</u> <sup>3</sup>	m.p. (°C)
535	F	F	H	Cl	H	H	H	Cl	- 	
36	F	F	H	CF <sub>3</sub>	H	H	H	Cl	- 	242-244
37	F	F	H	CF <sub>3</sub>	H	H	Cl	H	CF <sub>3</sub>	192.5-195
<sup>10</sup> 38	F	F	H	Cl	Cl	H	Cl	Cl	Cl	236-238
39	F	F	H	Cl	Cl	H	H	Br	- 	204-206
40	F	F	H	Cl	Cl	H	Br	Br	Br	249-250
1541	F	F	H	Cl	Cl	H	CF <sub>3</sub>	H	Cl	209-211
42	F	F	H	H	H	F	H	Cl	- 	121.5-122.5
43	F	F	H	Cl	H	F	H	Cl	- 	238-240
<sup>20</sup> 44	F	F	H	CH <sub>3</sub>	H	H	H	Cl	- 	236-238
45	F	F	H	Cl	H	CH <sub>3</sub>	H	Cl	- 	208-210
<sup>25</sup> 46	F	F	H	Cl	Cl	H	H	- 	H	232-234
47	F	F	H	Cl	Cl	H	H	- 	H	253-254
<sup>30</sup> 48	F	F	H	CH <sub>3</sub>	CH <sub>3</sub>	H	H	Cl	- 	217-219
49	F	F	H	Cl	Cl	H	OCH <sub>3</sub>	Cl	- 	216-217
<sup>35</sup> 50	F	F	H	Cl	Cl	H	H	C(O)OCH <sub>2</sub> CH <sub>3</sub>	H	213-215

TABLE A (cont.)

<u>Cpd</u>	<u>X<sup>1</sup></u>	<u>X<sup>2</sup></u>	<u>X<sup>3</sup></u>	<u>X<sup>4</sup></u>	<u>X<sup>5</sup></u>	<u>X<sup>6</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>3</sup></u>	<u>m.p. (°C)</u>
51	F	F	H	C(0)OCH <sub>3</sub>	H	H	H	C1		210-212
52	F	F	H	C1	H	H	C1	H	CF <sub>3</sub>	207.5- 208.5
53	F	F	H	C1	H	H	H	Br	H	226-228
10 54	F	F	H	CF <sub>3</sub>	H	H	H	Br		206-208
55	F	F	H	C1	C1	H	H	H		230-232
15 56	F	F	H	C1	C1	H	H	H		231-232
57	F	F	H	C1	C1	H	H	H		224-225
58	F	F	H	C1	C1	H	H	H		224-225
20 59	F	F	H	C1	C1	H	H	H		222-224
60	F	F	H	C1	C1	H	H	H		199-200
25 61	F	F	H	C1	C1	H	H	H		226-228
62	F	F	H	C1	C1	H	H	H		228-229
30 63	F	F	H	C1	C1	H	H	CN	H	246-248
64	F	H	H	C1	C1	H	C1	C1	CF <sub>3</sub>	193- 194.5
35 65	F	H	H	C1	C1	H	H	C1		198-200

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TABLE A (cont.)

Cpd	<u>x<sup>1</sup></u>	<u>x<sup>2</sup></u>	<u>x<sup>3</sup></u>	<u>x<sup>4</sup></u>	<u>x<sup>5</sup></u>	<u>x<sup>6</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>m.p. (°C)</u>
66	F	H	H	Cl	H	H	Cl	H	202-203
67	F	H	H	Cl	H	H	H	Br	213-215
5 68	F	H	H	CF <sub>3</sub>	H	H	H	Br	170-172
69	F	H	H	Cl	Cl	H	H	H	215-216
10 70	F	H	H	Cl	Cl	H	H		234-236
71	F	H	H	Cl	Cl	H	H	H	218-219
72	F	H	H	Cl	Cl	H	H	H	199-201
15 73	F	H	H	Cl	Cl	H	H		202-204
74	CH <sub>3</sub>	H	H	Cl	Cl	H	Cl	Cl	211- 212.5
20 75	CH <sub>3</sub>	H	H	Cl	Cl	H	H		243-245
76	Cl	H	F	Cl	Cl	H	Cl	Cl	211- 212.5
77	Cl	F	H	Cl	Cl	H	Cl	Cl	220.5- 222
25 78	Cl	Cl	H	Cl	Cl	H	Cl	Cl	231.5- 232.5
79	Cl	H	H	H	H	H	H	Cl	H
80	Cl	H	H	Cl	Cl	H	H	H	200-202
81	Cl	H	H	Cl	Cl	H	H	Cl	236-238
30 82	Cl	H	H	Cl	Cl	H	H	Br	234-236
83	Cl	H	H	Cl	Cl	H	H	CF <sub>3</sub>	195-196
84	Cl	H	H	Cl	Cl	H	H	Cl	202-203
35 85	Cl	H	H	Cl	Cl	H	H	Br	208-210

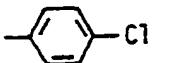
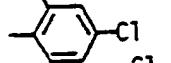
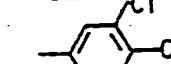
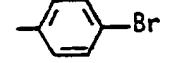
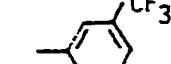
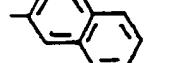
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TABLE A (cont.)

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Cpd	<u>x<sup>1</sup></u>	<u>x<sup>2</sup></u>	<u>x<sup>3</sup></u>	<u>x<sup>4</sup></u>	<u>x<sup>5</sup></u>	<u>x<sup>6</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>3</sup></u>	<u>m.p.</u> (°C)	
86	C1	H	H	C1	C1	H	H	H	- 	201.5-203	
5								- 	H	227-230	
87	C1	H	H	C1	C1	H	H				
88	C1	H	H	C1	C1	H	H	H	CF <sub>3</sub>		
89	C1	H	H	C1	C1	H	H	CF <sub>3</sub>	H	207-208	
10											
90	C1	H	H	C1	C1	H	C1	H	CF <sub>3</sub>	209-210	
91	C1	H	H	C1	C1	H	H	H	C(CH <sub>3</sub> ) <sub>3</sub>	200-201	
92	C1	H	H	C1	C1	H	H	C1	- 	229-231.5	
15									C1	220-227	
93	C1	H	H	C1	C1	H	H	C1	CF <sub>3</sub>	202-203	
94	C1	H	H	C1	C1	H	H	C1	CF <sub>3</sub>	203.5-204.5	
95	C1	H	H	C1	C1	H	C1	C1	CF <sub>3</sub>	204-205	
20	96	C1	H	H	C1	C1	H	CF <sub>3</sub>	H		
97	C1	H	H	H	H	H	CF <sub>3</sub>	H	CF <sub>3</sub>		
98	C1	H	H	H	H	H	C1	H	CF <sub>3</sub>		
99	C1	H	H	H	C1	H	H	C1	- 	225-226.5	
25	100	C1	H	H	C1	H	H	H	- 	198-199	
101	C1	H	H	CF <sub>3</sub>	H	H	H	C1	CF <sub>3</sub>	183-185	
102	C1	H	H	CF <sub>3</sub>	H	H	C1	H			
30	103	C1	H	H	C1	C1	H	H	Br	- 	222-224
104	C1	H	H	C1	C1	H	Br	Br	Br	232-233	
105	C1	H	H	C1	C1	H	CF <sub>3</sub>	H	C1	208-209	

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TABLE A (cont.)

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<u>Cpd</u>	<u>X<sup>1</sup></u>	<u>X<sup>2</sup></u>	<u>X<sup>3</sup></u>	<u>X<sup>4</sup></u>	<u>X<sup>5</sup></u>	<u>X<sup>6</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>3</sup></u>	<u>m.p. (°C)</u>	
106	C1	H	H	C1	C1	H	C1	C1	C1	222-224	
5	107	C1	H	H	CH <sub>3</sub>	CH <sub>3</sub>	H	H	- 	216-218	
108	C1	H	H	C1	H	H	H	Br	H	243-245	
109	C1	H	H	CF <sub>3</sub>	H	H	H	Br	- 	184-186	
10	110	C1	H	H	C1	C1	H	H	H	- 	184-187
111	111	C1	H	H	C1	C1	H	H	H	- 	211-213
15	112	C1	H	H	C1	C1	H	H	H	- 	220-221
113	C1	H	H	C1	C1	H	H	H	- 	210-211	
20	114	C1	H	H	CH <sub>3</sub>	C1	H	H	C1	- 	240-242
115	C1	H	H	C1	C1	H	H	H	- 	191-192	
116	C1	H	H	C1	C1	H	H	H	- 	150-151	
25	117	C1	H	H	C1	C1	H	H	H	- 	220-222
118	C1	H	H	C1	C1	H	H	H	- 	228-229	
30	119	C1	H	H	H	C1	H	C1	H	CF <sub>3</sub>	209-210

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TABLE A (cont.)

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<u>Cpd</u>	<u>x<sup>1</sup></u>	<u>x<sup>2</sup></u>	<u>x<sup>3</sup></u>	<u>x<sup>4</sup></u>	<u>x<sup>5</sup></u>	<u>x<sup>6</sup></u>	<u>R<sup>1</sup></u>	<u>R<sup>2</sup></u>	<u>R<sup>3</sup></u>	<u>m.p. (°C)</u>		
	149	C1	H	H	C1	C1	H	4-Br-phenyl	H	H	218-220	
5	150	C1	H	H	C1	C1	H	"	"	C1	H	225-229
	151	F	F	H	C1	C1	H	"	"	H	H	237-238
	152	F	F	H	C1	C1	H	"	"	C1	H	301-305
	153	F	F	H	C1	C1	H	H	H	4-CH <sub>3</sub> - C <sub>6</sub> H <sub>4</sub>	198-202	
10	154	C1	H	H	C1	C1	H	H	H	4-CH <sub>3</sub> - C <sub>6</sub> H <sub>4</sub>	205-210	
	155	H	H	H	C1	C1	H	H	H	4-Br- C <sub>6</sub> H <sub>4</sub>	256-259	

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TABLE B

Compounds of formula (A) wherein  $X^3$  and  $X^6$  are H, Y is O and  $R^1 + R^2$   
is  $CH=CH^1-CW^2=CW^3$  (with  $W^3$  in ortho-position of B)

<u>Cpd</u>	<u><math>X^1</math></u>	<u><math>X^2</math></u>	<u><math>X^4</math></u>	<u><math>X^5</math></u>	<u>A</u>	<u>B</u>	<u><math>W^1</math></u>	<u><math>W^2</math></u>	<u><math>W^3</math></u>	<u>m.p. (°C)</u>	
23	F	F	C1	C1	N	CH	H	H	H		
1024	F	F	C1	C1	N	C-C1	H	H	H	235-237	
25	F	F	C1	C1	N	C-C1	H	H	C1		
26	F	F	C1	C1	CH	N	C1	C1	H	225-228	
27	F	F	C1	H	CH	N	C1	C1	H		
15	28	F	F	C1	C1	N	N	H	C1	H	(*)
29	F	F	C1	C1	N	N	C1	C1	H	242-244	
120	C1	H	C1	C1	N	CH	H	H	H		
121	C1	H	C1	C1	N	C-C1	H	H	H		
20	122	C1	H	C1	C1	N	C-C1	H	H	C1	
123	C1	H	C1	C1	CH	N	C1	C1	H	246-249	
124	C1	H	C1	H	CH	N	C1	C1	H	225-228	
25	125	C1	H	C1	C1	N	N	H	C1	H	103-106
126	C1	H	C1	C1	N	N	C1	C1	H	222-225	
127	F	F	H	C1	C-CF <sub>3</sub>	N	C1	C1	H	218-223	

(\*) isomer A : m.p. 196-198°

30 isomer B : m.p. 177-181°

128. N-3,5-dichloro-4-(2-indazolyl)phenyl-N'-2,6-difluorobenzoyl urea,  
m.p. 209-210°;
129. N-3,5-dichloro-4-(2-indazolyl)phenyl-N'-2-chlorobenzoyl urea,  
5 m.p. 216-217°;
130. N-3,5-dichloro-4-(4,5,6,7-tetrahydroisoindol-2-yl)phenyl-N'-2,6-difluoro-  
benzoylurea, m.p. 240-242°;
131. N-3,5-dichloro-4-(2,5-dichloro-1-pyrrolyl)phenyl-N'-2,6-difluoro-  
benzoylurea, m.p. 206-208°;
- 10 132. N-3,5-dichloro-4-(2,3,4,5-tetrachloro-1-pyrrolyl)phenyl-N'-2,6-difluoro-  
benzoylurea, m.p. 244-245°;
133. N-3,5-dichloro-4-(3,4-dichloro-1-pyrrolyl)phenyl-N'-2,6-difluoro-  
benzoylurea, m.p. 236-239°;
- 15 134. N-3,5-dichloro-4-[3-chloro-4-(2,4-dichlorophenyl)-1-pyrrolyl]phenyl-N'-  
2,6-difluorobenzoylurea, m.p. 200-206°;
135. N-3,5-dichloro-4-(4,5,6,7-tetrahydroisoindol-2-yl)phenyl-N'-2-chloro-  
benzoylurea, m.p. 230-231°;
136. N-3,5-dichloro-4-(2,5-dichloro-1-pyrrolyl)phenyl-N'-2-chlorobenzoylurea;
137. N-3,5-dichloro-4-(2,3,4,5-tetrachloro-1-pyrrolyl)phenyl-N'-2-chloro-  
20 benzoylurea, m.p. 234-235°;
138. N-3,5-dichloro-4-(3,4-dichloro-1-pyrrolyl)phenyl-N'-2-chlorobenzoyl-  
urea, m.p. 220-221°;
139. N-3,5-dichloro-4-[3-chloro-4-(2,4-dichlorophenyl)-1-pyrrolyl]phenyl-N'-  
2-chlorobenzoylurea, m.p. 177-181°;
- 25 140. N-3,5-dichloro-4-(4,5,6,6-tetrahydroisoindol-2-yl)phenyl-N'-2-chloro-5-  
fluorobenzoylurea;
141. N-3,5-dichloro-4-[3,4-bis(trifluoromethyl)-1-pyrazolyl]phenyl-N'-2-chloro-  
5-fluorobenzoylurea;
142. N-3,5-dichloro-4-(4,5-dichloro-1-benzotriazolyl)phenyl-N'-2-chloro-5-  
30 fluorobenzoylurea;
143. N-3,5-dichloro-4-(4,5,6,7-tetrahydroisoindol-2-yl)phenyl-N'-2-chloro-  
benzoylthiourea;
144. N-3,5-dichloro-4-(3,4-dichloro-1-pyrrolyl)phenyl-N'-2-chlorobenzoyl-  
thiourea;

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145. N-3,5-dichloro-4-[3,4-bis(trifluoromethyl)-1-pyrazolyl]phenyl-N'-2-chlorobenzoylthiourea;
146. N-3,5-dichloro-4-[4-chloro-3-(4-chlorophenyl)-1-pyrazolyl]phenyl-N'-2-chlorobenzoylthiourea;
- 5 147. N-3,5-dichloro-4-(4,5-dichloro-1-benzotriazolyl)phenyl-N'-2-chlorobenzoylthiourea;
148. N-3,5-dichloro-4-[4-chloro-3-(4-chlorophenyl)-1-pyrazolyl]phenyl-N'-2,6-difluorobenzoylurea, m.p. 166-167.5°.

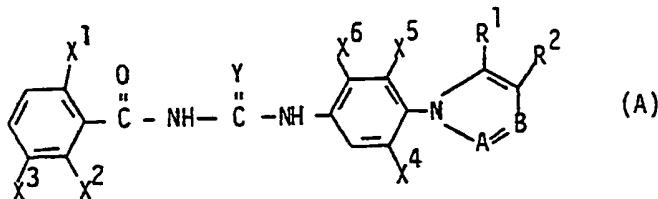
10 BIOLOGICAL ACTIVITY

Example 4

- Early (0-24 hr) third instar larvae of the tobacco budworm, Heliothis virescens, are topically treated on the dorsal abdomen with 1 microlitre of acetone dilution of the test compound at the concentration to be tested.
- 15 The treated larvae are placed on artificial diet in individual cells of a plastic grid contained in a covered plastic petri dish. The containers are held at 27°C, 16 hour photoperiod until all larvae are either dead or have molted to fifth instar larvae. In general, insecticidal activity is observed after application of from about 0.004 to 0.070 microgram test compound (4 to 20 70 ppm) per insect.

CLAIMS

## 1. Compounds of formula (A)



wherein, each of  $X^1$ ,  $X^2$ ,  $X^3$  and  $X^5$  is independently hydrogen, halogen or

- 5        $C_{1-4}$  alkyl;  
 $X^4$  is hydrogen, halogen, unsubstituted or halogenated  $C_{1-4}$  alkyl or COOR;  
 $X^6$  is hydrogen, halogen,  $C_{1-8}$  alkyl or COOR';  
 $Y$  is oxygen or sulfur;  
A is nitrogen or  $C-R^4$ ;  
10      B is nitrogen or  $C-R^3$ ;  
each of  $R^1$  and  $R^4$  is independently hydrogen, halogen, halogenated  $C_{1-8}$ -alkyl, unsubstituted or halogenated  $C_{1-8}$  alkoxy, unsubstituted or halogenated  $C_{1-8}$  alkylthio or; aryl, aryloxy or arylthio unsubstituted or substituted with 1 to 4 halogen atoms or with a  $CF_3$ ,  
15       $C_{1-4}$  alkyl or  $C_{1-4}$  alkoxy group and 0 to 3 halogen atoms;  
each of  $R^2$  and  $R^3$  is independently hydrogen; halogen; cyano; unsubstituted or halogenated  $C_{1-8}$  alkyl; unsubstituted or halogenated  $C_{1-8}$  alkoxy; unsubstituted or halogenated  $C_{1-8}$  alkylthio; COOR"; aryl, aryloxy or arylthio unsubstituted or substituted with 1 to 4 halogen atoms  
20      or with a  $C_{1-4}$  alkyl,  $C_{1-4}$  alkoxy or  $CF_3$  group and 0 to 3 halogen atoms;  
or either  $R^1$  and  $R^2$  or  $R^2$  or  $R^3$  can together form a bridging group of 4 carbon atoms, saturated or unsaturated, and optionally substituted with 1 to 4 halogen atoms or with a trifluoromethyl group and 0 to 3 halogen atoms;  
25      each of  $R$ ,  $R'$  and  $R''$  is hydrogen or  $C_{1-8}$  alkyl;  
with the proviso that where A is  $C-R^4$  and B is  $C-R^3$ , not all of  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  may be hydrogen.

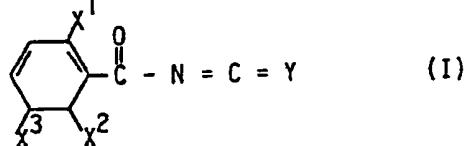
2. A compound according to Claim 1 wherein A is nitrogen and B is C-R<sup>3</sup>.
3. A compound according to Claims 1 or 2 wherein any aryl, aryloxy or arylthio is unsubstituted or mono- or disubstituted with one methyl, methoxy or CF<sub>3</sub> group and zero or one halogen or with one or two halogen, and whereby aryl - as such or in the terms aryloxy and arythio - refers to naphthyl, phenyl, pyridyl or thienyl.
4. A compound according to Claim 3, wherein Y is O.
5. A compound according to Claim 3 or 4, wherein each of X<sup>1</sup>, X<sup>2</sup> and X<sup>3</sup> is independently H or halogen,
- 10       X<sup>4</sup> is H, halogen, CH<sub>3</sub> or CF<sub>3</sub>,  
          X<sup>5</sup> is H, halogen or CH<sub>3</sub>,  
          X<sup>6</sup> is H or halogen,  
      each of R<sup>1</sup> and R<sup>2</sup> is independently H, halogen or CF<sub>3</sub>,  
      R<sup>3</sup> is H, halogen, C<sub>1-4</sub>alkyl or CF<sub>3</sub> whereby one of R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> may  
15       also be halophenyl, dihalophenyl, methylphenyl or trifluoromethyl-phenyl and/or  
      R<sup>1</sup> and R<sup>2</sup> together may form a bridging group of the formula CH=C-CH=CH,  
      which group is unsubstituted or mono- or dihalogenated, or  
      R<sup>2</sup> and R<sup>3</sup> together form a bridging group of formula (CH<sub>2</sub>)<sub>4</sub>.
- 20 6. A compound according to Claim 5, wherein X<sup>1</sup> is halogen, X<sup>3</sup> and X<sup>6</sup> are H,  
      X<sup>4</sup> is halogen or CH<sub>3</sub> and R<sup>3</sup> is H, halogen, CF<sub>3</sub> or halophenyl.
7. A compound according to Claim 6 selected from
- a) N-3,5-dichloro-4-[4-chloro-3-(4-chlorophenyl)-1-pyrazolyl]-phenyl-N'-2,6-difluorobenzoylurea,
- 25 b) N-3,5-dimethyl-4-[4-chloro-3-(4-chlorophenyl)-1-pyrazolyl]-phenyl-N'-2,6-difluorobenzoylurea,  
c) N-3,5-dichloro-4-(4-bromo-1-pyrazolyl)-phenyl-N'-2-chlorobenzoylurea,  
d) N-3,5-dichloro-4-(3,4-dibromo-1-pyrazolyl)phenyl-N'-2-chlorobenzoylurea,
- 30

- e) N-3,5-dichloro-4-(4,5-dichloro-3-trifluoromethyl-1-pyrazolyl)phenyl-N'-2-chlorobenzoylurea,  
f) N-3,5-dichloro-4-[3-(4-chlorophenyl)-1-pyrazolyl]-  
5 phenyl-N'-2-chlorobenzoylurea,  
g) N-3,5-dichloro-4-(3,4,5-trichloro-1-pyrazolyl)phenyl-  
N'-2-chlorobenzoylurea,  
h) N-3,5-dichloro-4-(4,5-dichloro-3-trifluoromethyl-1-pyrazolyl)phenyl-  
N'-2-fluorobenzoylurea,  
10 i) N-3,5-dichloro-4-[3-(4-bromophenyl)-1-pyrazolyl]-  
phenyl-N'-2-fluorobenzoylurea.

8. A pesticidal composition comprising a compound as defined in any one of Claims 1 to 7 and an agriculturally acceptable diluent.

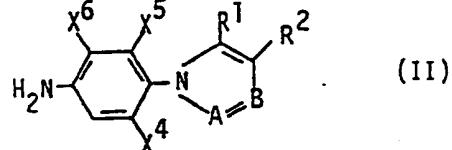
9. A method of combatting pests which comprises applying to the pest or its locus a pest-controlling amount of a compound of formula (A) as defined in any one of Claims 1 to 7.

10. A process for preparing a compound of Claims 1 to 7 which comprises  
a) reacting a compound of formula (I)



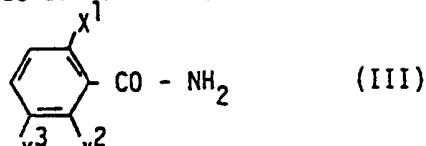
wherein X¹, X², X³ and Y are as defined in Claim 1,

15 with a compound of formula (II)



wherein X⁴, X⁵, X⁶, R¹, R², A and B are as defined in Claim 1,

or by b) by reacting a benzamide of formula (III)

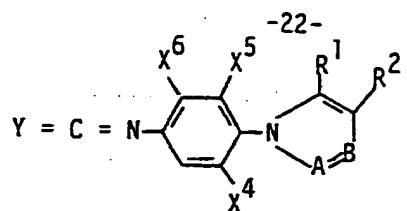


wherein X¹, X² and X³ are as defined in Claim 1,

with a compound of formula (IV)

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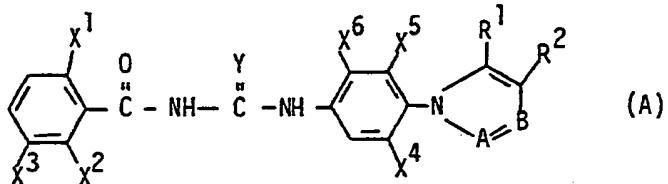


(IV)

wherein Y, R<sup>1</sup>, R<sup>2</sup>, X<sup>4</sup>, X<sup>5</sup>, X<sup>6</sup>, A and B are as defined in Claim 1.

CLAIMS

1. A pesticidal composition comprising a compound of formula (A)



wherein, each of  $X^1$ ,  $X^2$ ,  $X^3$  and  $X^5$  is independently hydrogen, halogen or

5        $C_{1-4}$  alkyl;

$X^4$  is hydrogen, halogen, unsubstituted or halogenated  $C_{1-4}$  alkyl or COOR;

$X^6$  is hydrogen, halogen,  $C_{1-8}$  alkyl or COOR';

Y is oxygen or sulfur;

A is nitrogen or  $C-R^4$ ;

10      B is nitrogen or  $C-R^3$ ;

each of  $R^1$  and  $R^4$  is independently hydrogen, halogen, halogenated  $C_{1-8}$ -alkyl, unsubstituted or halogenated  $C_{1-8}$  alkoxy, unsubstituted or halogenated  $C_{1-8}$  alkylthio or; aryl, aryloxy or arylthio unsubstituted or substituted with 1 to 4 halogen atoms or with a  $CF_3$ ,

15       $C_{1-4}$  alkyl or  $C_{1-4}$  alkoxy group and 0 to 3 halogen atoms;

each of  $R^2$  and  $R^3$  is independently hydrogen; halogen; cyano; unsubstituted or halogenated  $C_{1-8}$  alkyl; unsubstituted or halogenated  $C_{1-8}$  alkoxy; unsubstituted or halogenated  $C_{1-8}$  alkylthio; COOR"; aryl, aryloxy or arylthio unsubstituted or substituted with 1 to 4 halogen atoms

20      or with a  $C_{1-4}$  alkyl,  $C_{1-4}$  alkoxy or  $CF_3$  group and 0 to 3 halogen atoms; or either  $R^1$  and  $R^2$  or  $R^2$  or  $R^3$  can together form a bridging group of 4 carbon atoms, saturated or unsaturated, and optionally substituted with 1 to 4 halogen atoms or with a trifluoromethyl group and 0 to 3 halogen atoms;

25      each of R, R' and R" is hydrogen or  $C_{1-8}$  alkyl;

with the proviso that where A is  $C-R^4$  and B is  $C-R^3$ , not all of  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  may be hydrogen, and an agriculturally acceptable diluent.

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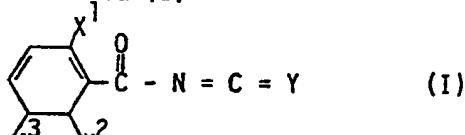
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2. A composition according to Claim 1 wherein A is nitrogen and B is C-R<sup>3</sup>.
3. A composition according to Claims 1 or 2 wherein any aryl, aryloxy or arylthio is unsubstituted or mono- or disubstituted with one methyl, 5 methoxy or CF<sub>3</sub> group and zero or one halogen or with one or two halogen, and whereby aryl - as such or in the terms aryloxy and arythio - refers to naphthyl, phenyl, pyridyl or thienyl.
4. A composition according to Claim 3, wherein Y is 0.
5. A composition according to Claim 3 or 4, wherein 10 each of X<sup>1</sup>, X<sup>2</sup> and X<sup>3</sup> is independently H or halogen,  
X<sup>4</sup> is H, halogen, CH<sub>3</sub> or CF<sub>3</sub>,  
X<sup>5</sup> is H, halogen or CH<sub>3</sub>,  
X<sup>6</sup> is H or halogen,  
each of R<sup>1</sup> and R<sup>2</sup> is independently H, halogen or CF<sub>3</sub>,  
15 R<sup>3</sup> is H, halogen, C<sub>1-4</sub>alkyl or CF<sub>3</sub> whereby one of R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> may also be halophenyl, dihalophenyl, methylphenyl or trifluoromethyl-phenyl and/or  
R<sup>1</sup> and R<sup>2</sup> together may form a bridging group of the formula CH=C-CH=CH,  
which group is unsubstituted or mono- or dihalogenated, or  
20 R<sup>2</sup> and R<sup>3</sup> together form a bridging group of formula (CH<sub>2</sub>)<sub>4</sub>.  
6. A composition according to Claim 5, wherein X<sup>1</sup> is halogen.  
7. A composition according to Claim 6,  
wherein X<sup>3</sup> and X<sup>6</sup> are H,  
X<sup>4</sup> is halogen, CH<sub>3</sub> or CF<sub>3</sub>,  
25 X<sup>5</sup> is halogen or CH<sub>3</sub>  
and R<sup>3</sup> is H, halogen, CF<sub>3</sub> or halophenyl.

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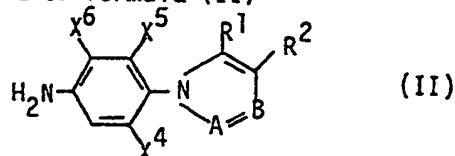
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8. A process for preparing a compound of Claims 1 to 7 which comprises  
 a) reacting a compound of formula (I)

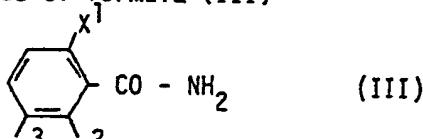


wherein  $X^1$ ,  $X^2$ ,  $X^3$  and  $Y$  are as defined in Claim 1,

with a compound of formula (II)

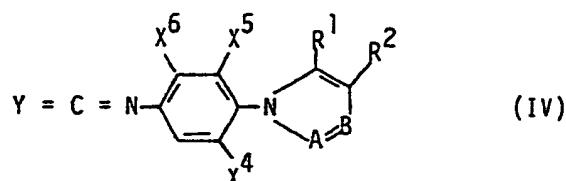


5       wherein  $X^4$ ,  $X^5$ ,  $X^6$ ,  $R^1$ ,  $R^2$ ,  $A$  and  $B$  are as defined in Claim 1,  
 or by b) by reacting a benzamide of formula (III)



wherein  $X^1$ ,  $X^2$  and  $X^3$  are as defined in Claim 1,

with a compound of formula (IV)



wherein  $Y$ ,  $R^1$ ,  $R^2$ ,  $X^4$ ,  $X^5$ ,  $X^6$ ,  $A$  and  $B$  are as defined in Claim 1.